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ACCESSION NUMBER: 1987-314145 [45] WPIX
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TITLE: N-substd. 3-amino:thieno-(2,3-B)-pyridine- 2-carboxamide cpds. production - e.g. reaction of corresp. 2-carboxylic acid ester(s) with amine(s)
DERWENT CLASS: B02; E13
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PATENT INFO ABBR.:

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APPLICATION DETAILS:

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AB DD 247002 A UPAB: 20050426
Production of N-substd. 3-amino-thieno (2,3-b)pyridine-2-carboxamide derivs. of formula (I) (many of which are new), comprises (A) reacting corresp. 2-carboxylic acid ester (II) with a primary or secondary amine. (IV) at boiling temperature, or (B) reacting a 2-(3-cyano-2-pyridylthio) acetic acid ester (IV) with a functionalised aliphatic amino, or (C) reacting a 2-mercapto-pyridine-3-carbonitrile (V) with a 2-halo-acetamide (VI) in alkanolic solution in the presence of alkali alcoholate.

USE - The products are intermediates for biologically active heterocyclic cpds. - In an example, 4,6-Dimethyl-2-mercaptopyridine-3-carbonitrile (16-4g) in IM ethanolic KOH (350 ml) is reacted with chloroacetic acid (10g) in water (20ml) to give 3-amino-4,6-dimethyl- thieno(2,3-b)pyridine-2-carboxylic acid potassium salt (m. pt. 360 deg.) in 85% yield. This is dissolved in water and acidified with acetic acid. The resulting free acid is dried in a vacuum desiccator are KOH/H2SO4. The resulting free acid (2.2g) and p-nitrophenol(1.8g) in absolute pyridine (35ml) are treated with dicyclohexylcarbodiimide (2.2g), reacted 20 hrs. at room temperature, and worked up to give the p-nitrophenyl ester (m.pt. 252-6 deg.) in 60% yield. Nitrophenyl ester (1-3g) and 2-diethylamino-ethylamine (1.5g) are refluxed 2 hrs. in absolute dioxane (15ml), then worked up to give N-(2-diethylaminoethyl) -3-amino-4,6-dimethyl-thieno (2,3-b)pyridine-2-carboxamide, m.pt. 130 deg. (from aqueous EtOH) in 72% yield.